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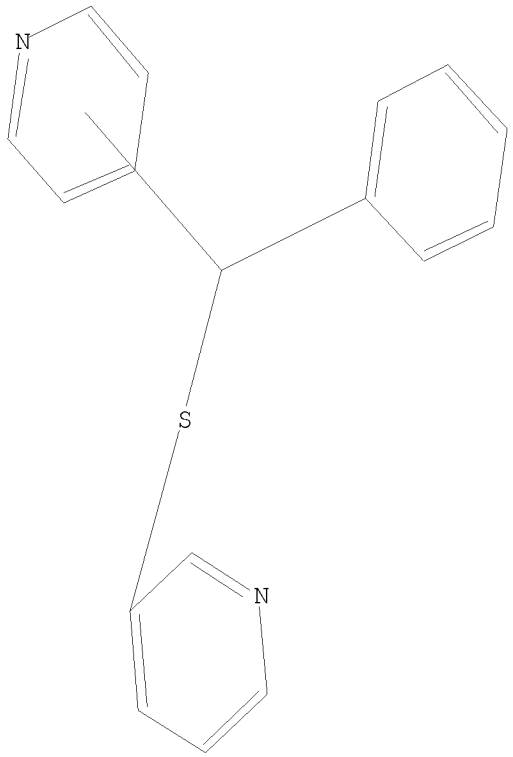


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Structure attributes must be viewed using STN Express query preparation.


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FULL SEARCH INITIATED 12:58:11 FILE 'REGISTRY'
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100.0% PROCESSED     1365 ITERATIONS                                 32 ANSWERS
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COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
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FILE 'CAPLUS' ENTERED AT 12:58:19 ON 18 NOV 2010
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 18 Nov 2010 VOL 153 ISS 21
FILE LAST UPDATED: 17 Nov 2010 (20101117/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Aug 2010
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Aug 2010

CAplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2010.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate substance identification.

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L3           1 L2 AND PY<2004

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L3 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2003:532638 CAPLUS
DOCUMENT NUMBER: 139:101146
TITLE: Preparation of benzyl or heterocyclylmethyl phenyl or heterocyclyl sulfones as β-amyloid protein production/secretion inhibitors
INVENTOR(S): Yasukochi, Takanori; Ito, Masayuki; Kubota, Hideki; Miyauchi, Satoshi; Saito, Masaki
PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 540 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE	
WO 2003055850	A1	20030710	WO 2002-JP13792		20021227	<--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW						
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CA 2471943	A1	20030710	CA 2002-2471943		20021227	<--
AU 2002367147	A1	20030715	AU 2002-367147		20021227	<--
EP 1466898	A1	20041013	EP 2002-790937		20021227	
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CN 1585746	A	20050223	CN 2002-827790		20021227	
CN 100562516	C	20091125				
RU 2304140	C2	20070810	RU 2004-122915		20021227	
JP 4329905	B2	20090909	JP 2003-556382		20021227	
KR 927304	B1	20091118	KR 2004-7009960		20021227	
US 20050234109	A1	20051020	US 2004-500156		20040625	
US 7399775	B2	20080715				
HK 1071354	A1	20100827	HK 2005-104085		20050517	
US 20070293495	A1	20071220	US 2007-829533		20070727	
PRIORITY APPLN. INFO.:			JP 2001-395701	A	20011227	
			WO 2002-JP13792	W	20021227	
			US 2004-500156	A3	20040625	

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 139:101146

AB Novel compds. having various substituents as represented by the following general formula R1(R2)(R3)C-X-R4, salts thereof, and solvates of the same [wherein X = S, SO, SO2; R1 = CR5R6R7, NR8R9, X1R10, X2R11; wherein R5, R6, R7 = halo, cyano, NO2, -Q51-Q52-Q53-Q54; Q51, Q53 = single bond, CO, S(O), SO2, COCO, COC(S), C(S)C(S); Q52 = single bond, O, ON(A51), ON(COA51), N(A51), N(COA51), N(CO2A51), N[CON(A51)(A52)], N(OA51), N(NA51A52), N(A51)N(A52), N(COA51)N(A52), N(A51)-O, N(COA51)-O, S, N:N, C(A51):N, C(A51):N-O, C(A51):N-N(A52), N:C(A51), O-N:C(A51), N(A51)-N:C(A52), C(:NA51)-N(A52); Q54 = A53, OA53, N(A53)(A54), SA53, NA54-OA53, NA55-N(A53)(A54), O-N(A53)(A54); wherein A51, A52, A53 = H, (un)substituted hydrocarbyl or heterocyclyl; R2, R3, R4, R8, R9, R10, R11 = -Q51-Q52-Q53-Q54 defined in R5-R7; X1 = O, S; X2 = SO, SO2; or R1 and R2 or R3 and R4 are combined together to form (un)substituted cyclohydrocarbyl or heterocyclyl] are prepared These compds. have an effect of inhibiting the production/secretion of a β-amyloid protein and are useful for the prevention or treatment of diseases caused by unusual production/secretion of β-amyloid, in particular Alzheimer's disease or Down's syndrome. Thus, a solution of 100 mg 2,5-dichloro-4-[(4-chlorophenylthio)-(2,5-difluorophenyl)methyl]pyridine (preparation given) and 200 μL morpholine in 1.0 mL 1,4-dioxane was stirred at 100° for 2 days to give 4-[5-chloro-4-[(4-chlorophenylthio)-(2,5-difluorophenyl)methyl]pyridin-2-yl]morpholine which (90 mg) was dissolved

in 12 mL MeOH, treated with 60 mg ammonium molybdate tetrahydrate [(NH4)6Mo7O24.4H2O] and 6 mL 30% H2O2, and stirred for 8 h to give 83% 4-[5-chloro-4-[(4-chlorophenylsulfonyl)-(2,5-difluorophenyl)methyl]pyridin-2-yl]morpholine (I). I in vitro glioma cell (H4 cell) expressing human β-amyloid protein precursor protein gene (APP751 gene) with EC50 of ≤50 nM.

IT 558465-25-1P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of benzyl or heterocyclylmethyl Ph or heterocyclyl sulfones as β-amyloid protein production/secretion inhibitors for treatment or preparation of Alzheimer's disease or Down's syndrome)

RN 558465-25-1 CAPLUS
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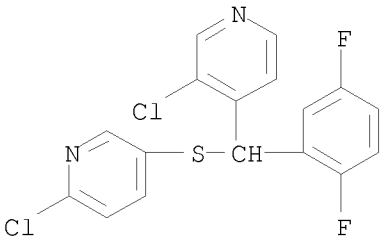

IT 558465-26-2P 558465-27-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzyl or heterocyclylmethyl Ph or heterocyclyl sulfones as β-amyloid protein production/secretion inhibitors for treatment or preparation of Alzheimer's disease or Down's syndrome)

RN 558465-26-2 CAPLUS
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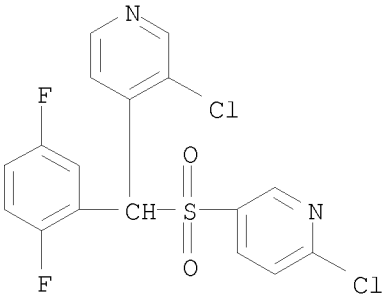

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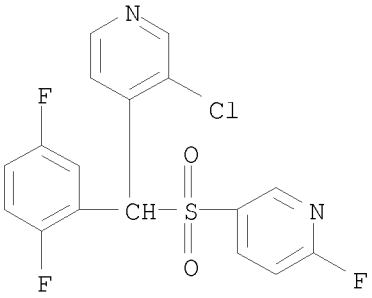

OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (12 CITINGS)

REFERENCE COUNT: 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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